Application No.: 10/089,167

Office Action Dated: January 29, 2004

Amendment to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims

1. (currently amended) Compounds A compound of the formula I

$$\begin{array}{c|c}
R & & & \\
\hline
R^1 & & & \\
\hline
N & & & \\
N & & & \\
N & & & \\
N & & & \\
N & & \\
N & & & \\
N & &$$

in-which wherein:

R and R¹ are independently of each other H, A, OH, OA, OCH₂-Ar, Hal, NH₂, NHA, NA₂, NO₂, CN, C(O)R₂, CONHA, CONA₂, COOH, COOA or SO₂A,

 R^2 and R^3 are independently of each other H, A, $-C(=NH)-NH_2$ or a linking moiety attached to a solid phase resin,

R⁴ is Ar, phenylalkyl, cycloalkyl or Het,

Y may be absent and, if present, is alkenyl having 2 to 4 carbon atoms,

A is unbranched or branched alkyl having 1 to 6 carbon atoms,

Ar is phenyl, naphthyl, biphenyl or benzofuranyl, which is unsubstituted or mono-, di- or trisubstituted by A, OH, OA, CF₃, OCF₃, Hal, CN, COOH, COOA, NH₂, NHA, NA₂, NO₂, SO₂NH₂, SO₂NAH or SO₂NA₂,

Het is a saturated, partially or completely unsaturated mono- or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms can be present and the heterocyclic radical can be mono- or disubstituted by A, Hal, OH, OA, CF₃, OCF₃, NH₂, NHA, NA₂, COOH, COOA, phenyl which is unsubstituted or mono-, di- or trisubstituted by A, OH, OA, CF₃, OCF₃, Hal, CN, COOH, COOA, NH₂, NHA, NA₂, NO₂, SO₂NH₂, SO₂NAH or SO₂NA₂ or thiophenyl which is unsubstituted or mono-, di- or trisubstituted by A, OH, OA, CF₃, OCF₃, Hal, CN, COOH, COOA, NH₂, NHA, NA₂, NO₂, SO₂NH₂, SO₂NAH or SO₂NA₂,

PATENT

DOCKET NO.: 3DP-0558 (3072003)

Application No.: 10/089,167

Office Action Dated: January 29, 2004

Hal is F, Cl, Br or I, n is θ_{7} , 1, 2 or 3, m is θ_{7} , 1, 2 or 3,

and their pharmaceutically tolerable salts and solvates or a pharmaceutically tolerable salt or solvate thereof.

- 2. (currently amended) A compound Compounds of the formula I according to Claim 1 selected from the group consisting of:
 - a) 3-(3-aminomethyl-cyclohexylmethyl)-2-[2,2']bithiophenyl-5-yl-6-methoxy-3H-quinazolin-4-one,
 - b) 3-(3-aminomethyl-cyclohexylmethyl)-2-naphthalen-1-yl-6-methoxy-3H-quinazolin-4-one;
 - c) 3-(3-aminomethyl-cyclohexylmethyl)-2-naphthalen-1-yi-6-methyl-3H-quinazolin-4-one;
 - d) 3-(3-aminomethyl-cyclohexylmethyl)-2-naphthalen-1-yi-3H-quinazolin-4-one;
 - e) 3-(3-aminomethyl-cyclohexyimethyl)-2-naphthalen-2-yi-6-methoxy-3H-quinazolin-4-one;
 - f) 3-(3-aminomethyl-cyclo hexyl methyl)-2-naphthalen-2-yl-3-H-quinazolin-4-one;
 - g) 3-(3-aminomethyl-cyclohexyimethyl)-2-naphthalen-2-yl-6-methyl-3H-quinazolin-4-one;
 - h) 3-(3-aminomethyl-cyclohexylmethyl)-6-chloro-2-naphthalen-2-yl-3H-quinazolin-4-one; **and**
 - i) 3-(3-aminomethyl-cyclohexylmethyl)-7-chloro-2-naphthalen-2-yl-3H-quinazolin-4-one;

and their-physiologically acceptable salts and solvates thereof.

3. (currently amended)

Process A process for preparing a compound of claim 1,

comprising the step of: for the preparation of the compounds of the formula I

according to Claim 1 and their salts or solvates, characterized in that a) a

compound of the formula I is liberated treating a solvate or hydrate of a

Application No.: 10/089,167

Office Action Dated: January 29, 2004

compound of claim 1 from one of its functional derivatives by treating with a solvolysing or hydrogenolysing agent., or b) in stage 1) a compound of the formula

in which

X is Cl, Br, OH or a reactive esterified OH group and

Q is NH, or NHA, either of which is optionally protected, and R and R' are optionally protected when they are or contain NI-12or NHA, is reacted with a compound of the formula III

$$\begin{array}{c|c} \hline \\ H_2N \hline \\ \hline \\ (CH_2)_m \hline \\ R^3 \\ \hline \end{array}$$

which R², R³, n and m have the meanings indicated in Claim 1, to give a compound of formula IV

$$\begin{array}{c|c}
R & & & \\
\hline
R^1 & & & \\
\hline
R^1 & & & \\
\hline
Q & & & \\
\end{array}$$

$$\begin{array}{c|c}
(CH_2)_m & & & \\
\hline
R^2 & & \\
\hline
R^3 & & \\
\hline
R^3 & & \\
\end{array}$$

in-which R, R¹, R², R³, Q, n and m have the meanings indicated above, and in stage 2) a compound of formula IV as indicated above is if necessary deprotected to give a compound of formula IV

$$\begin{array}{c|c}
R & & & \\
\hline
R^1 & & & \\
\hline
R^2 & & & \\
\hline
R^3 & &$$

DOCKET NO.: 3DP-0558 (3072003) PATENT

Application No.: 10/089,167

Office Action Dated: January 29, 2004

in-which Q is NH2or NHA and is reacted with a compound of formula V

in which R⁴ and Y have the meanings indicated in Claim 1, or 4 e) a radical R, R¹, R², R³ and/or R⁴ by, for example converting an amino group into a guanidino group by reaction with an amidinating agent, reducing a nitro group, sulfonyl group or sulfoxyl group, etherifying an OH group or subjecting an OA group to ether cleavage, alkylating a primary or secondary amino group, partially or completely hydrolysing a CN group, cleaving an ester group or esterifying a carboxylic acid radical, reacting an aryl bromide, aryl iodide, heteroaryl bromide or heteroaryliodide to give the corresponding coupling products by means of a Suzuki coupling with boronic acids, or carrying out a nucleophilic or electrophilic substitution, and/or (e) a base or acid of the formula I is converted into one of its salts or solvates.

4. (currently amended) A pharmaceutical composition, comprising:

<u>a compound</u> Compounds of the formula I according to Claim 1 or a pharmaceutically acceptable salt or solvate thereof; and and their physiologically acceptable salts or solvates as pharmaceutical active compounds

a pharmaceutically acceptable excipient.

5. (currently amended) A method of antagonizing glycoprotein IbIX receptors, comprising the step of:

administering an effective amount of a compound Compounds of the formula-I according to Claim 1 or a pharmaceutically acceptable salt or solvate thereof to a patient in need thereof and their physiologically acceptable salts or solvates as glycoprotein IbIX antagonists.

Application No.: 10/089,167

Office Action Dated: January 29, 2004

6. (currently amended) A method of controlling a thrombotic disorder and sequelae deriving therefrom, comprising the step of:

administering an effective amount of a compound Compounds of the formula-I according to Claim 1 or a pharmaceutically acceptable salt or solvate thereof to a patient in need thereof and their physiologically acceptable salts or solvates as glycoprotein IbIX antagonists for the control of thrombotic disorders and sequelae deriving therefrom.

PATENT

7. (cancelled)

8. (currently amended) A method of preventing adhesion on a foreign surface in contact with a patient, comprising the step of:

administering an effective amount compound Use of compounds of the formula. I according to Claim 1 to said patient—and/or their—physiologically acceptable salts or solvates for the production of a pharmaceutical preparation for the control of thrombotic disorders and sequelae deriving therefrom or for use as anti-adhesive substances.

- 9. (currently amended)

 Use of compounds of the formula I according to Claim 4
 and/or their physiologically acceptable salts or solvates for the production of a
 pharmaceutical preparation for the treatment of illnesses, such as for the
 prophylaxis and/or therapy of thrombotic disorders, as well as sequelae such as,
 for example, A method according to claim 6, wherein said sequelae is myocardial
 infarct, arteriosclerosis, angina pectoris, acute coronary syndromes, peripheral
 circulatory disorders, stroke, transient ischaemic attacks, or reocclusion/restenosis
 after angioplasty/stent implantations or as anti-adhesive-substances for implants,
 eatheters or heart pacemakers.
- 10. (new) A method according to claim 8, wherein said foreign surface is the surface of an implant, catheter, or heart pacemaker.

Application No.: 10/089,167

Office Action Dated: January 29, 2004

A process for forming a compound of claim 1 or a pharmaceutically tolerable 11. (new)

PATENT

reacting a compound of formula II:

salt or solvate thereof, comprising the steps of:

$$\mathbb{R}^{1} \xrightarrow{\mathbb{R}^{0}} \mathbb{Q}^{COX}$$
 II

wherein:

X is Cl, Br, OH, or a reactive esterified OH group; and

Q is NH2 or NHA, either of which is optionally protected, and

R and R¹ are optionally protected when they comprise NH₂ or NHA; with a compound of formula III:

$$H_2N$$
— $(CH_2)_n$ — R^2
 $(CH_2)_m$ — N
 R^3

and optionally deprotecting said reaction product to form a compound of formula IV:

$$\begin{array}{c|c}
R & & & \\
R^1 & & & \\
R^2 & & & \\
R^3 & & & \\
\end{array}$$

$$\begin{array}{c|c}
R^2 & & \\
R^3 & & \\
\end{array}$$

$$\begin{array}{c|c}
R^2 & & \\
R^3 & & \\
\end{array}$$

reacting said compound of formula IV with a compound of formula V:

to form a compound of claim 1 or a pharmaceutically tolerable salt or solvate thereof.